

SRNT

10/777,471

8 of 14

Page 1

L12 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:107321 CAPLUS <<LOGINID::20061228>>
 DOCUMENT NUMBER: 136:167373
 TITLE: Preparation of imidazolyl derivatives as agonists or antagonists of somatostatin receptors
 INVENTOR(S): Thurieau, Christophe Alain; Poitout, Lydie Francine; Galcera, Marie-Odile; Gordon, Thomas D.; Morgan, Barry A.; Moinet, Christophe Philippe; Bigg, Dennis
 PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.
 SOURCE: PCT Int. Appl., 369 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010140	A2	20020207	WO 2001-US23959	20010731
WO 2002010140	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2417204 A1 20020207 CA 2001-2417204 20010731 EP 1305294 A2 20030502 EP 2001-957342 20010731 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004518613 T 20040624 JP 2002-516272 20010731 NZ 523774 A 20040924 NZ 2001-523774 20010731 NO 2003000473 A 20030130 NO 2003-473 20030130 PRIORITY APPLN. INFO.: US 2000-222584P P 20000801 WO 2001-US23959 W 20010731 OTHER SOURCE(S): MARPAT 136:167373 GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Imidazole derivs. I [R1 = H, (CH2)mCO(CH2)mZ1, (CH2)mZ1, etc.; Z1 = (un)substituted benzo[b]thiophene, Ph, naphthyl, etc.; m = 0-6; R2 = H, alkyl; R1 and R2 taken together with the nitrogen atoms to which they are attached form II-IV; R3 = (CH2)mE(CH2)mZ2; E = O, S, CO, etc.; Z2 = H, alkyl, NH2, etc.; R4 = H, (CH2)mA1; A1 = C(:Y)NX1X2; C(:Y)X2; C(:NH)X2, X2; Y = O, S; X1 = H, alkyl, etc.; X2 = alkyl, etc.; R5 = alkyl, (un)substituted aryl, etc.; R6 = H; alkyl; R7 = alkyl, (CH2)mZ4; Z4 = (un)substituted Ph, naphthyl, indolyl, etc.], which are useful as agonists or antagonists of somatostatin receptors (no data) and for inhibiting the

proliferation of *Helicobacter pylori*, were prepared Thus, activating 2-furancarboxylic acid with carbonyldiimidazole followed by addition of 2-{(1S)-1-amino-2-(indol-3-yl)ethyl}-4-phenyl-1H-imidazole afforded 94% the title compound V. Compds. I are effective at 0.01-10.0 mg/kg/day.

IT 252292-76-5P

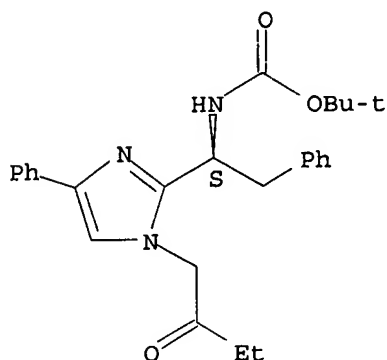
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of imidazolyl derivs. as agonists or antagonists of somatostatin receptors)

RN 252292-76-5 CAPLUS

CN Carbamic acid, [(1S)-1-[1-(2-oxobutyl)-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 252297-50-0P 252297-51-1P 252297-52-2P

252297-53-3P 252297-54-4P 252297-55-5P

252297-56-6P 252297-57-7P 252297-58-8P

252297-59-9P 252297-60-2P 252297-61-3P

252297-62-4P 252297-63-5P 252297-64-6P

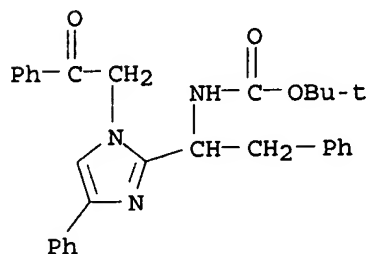
335243-76-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolyl derivs. as agonists or antagonists of somatostatin receptors)

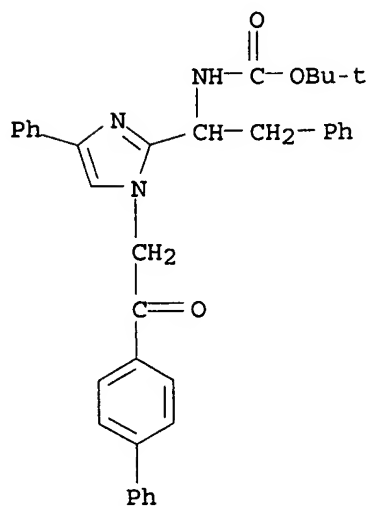
RN 252297-50-0 CAPLUS

CN Carbamic acid, [1-[1-(2-oxo-2-phenylethyl)-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



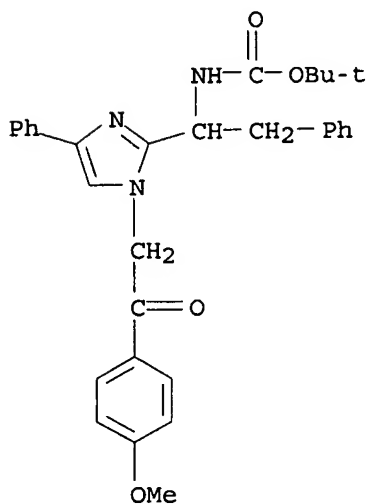
RN 252297-51-1 CAPLUS

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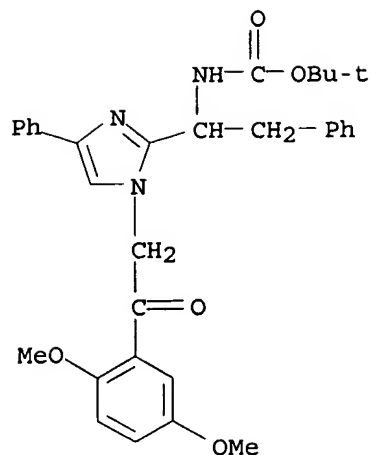
RN 252297-52-2 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-methoxyphenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



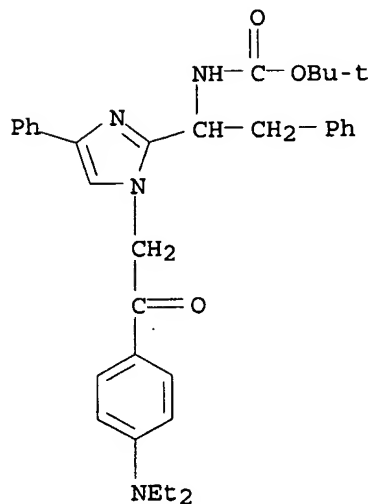
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CN Carbamic acid, [1-[1-[2-(2,5-dimethoxyphenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



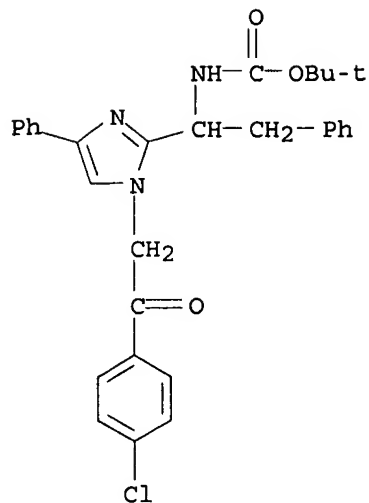
RN 252297-54-4 CAPLUS

CN Carbamic acid, [1-[1-[2-[4-(diethylamino)phenyl]-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



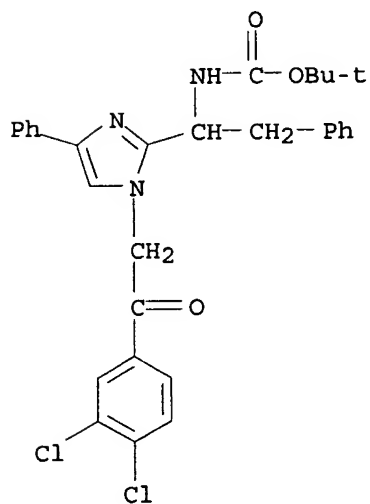
RN 252297-55-5 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-chlorophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



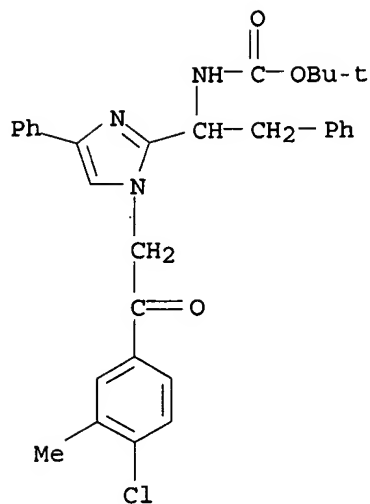
RN 252297-56-6 CAPLUS

CN Carbamic acid, [1-[1-[2-(3,4-dichlorophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



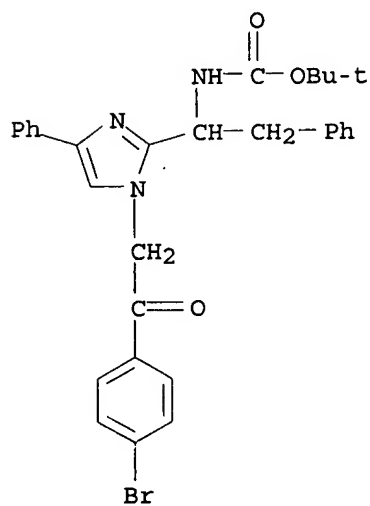
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CN Carbamic acid, [1-[1-[2-(4-chloro-3-methylphenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



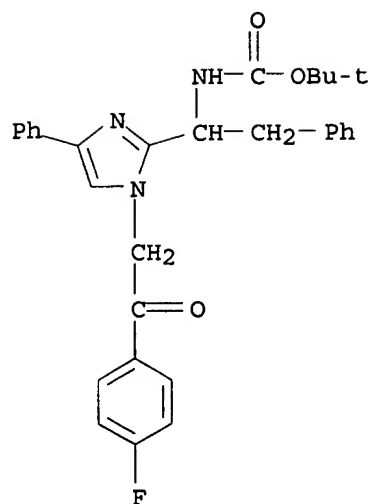
RN 252297-58-8 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-bromophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



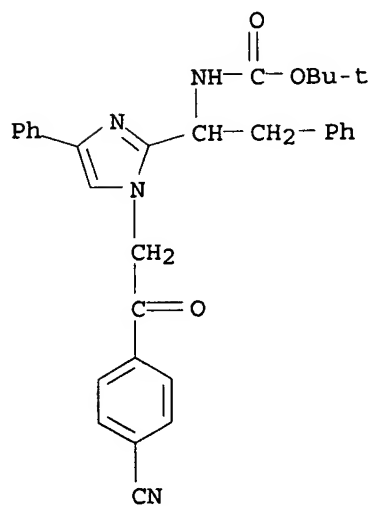
RN 252297-59-9 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-fluorophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



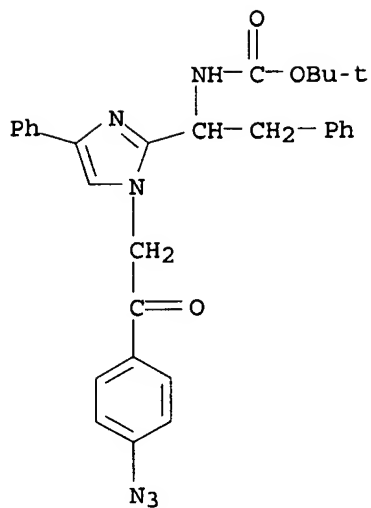
RN 252297-60-2 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-cyanophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



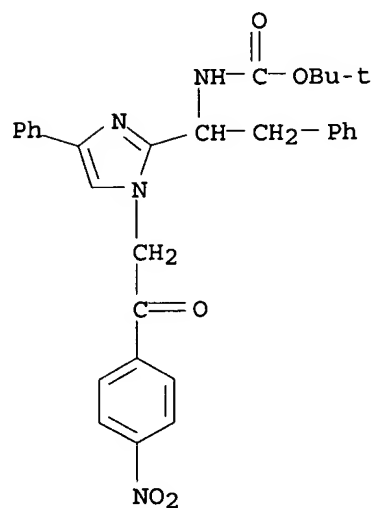
RN 252297-61-3 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-azidophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



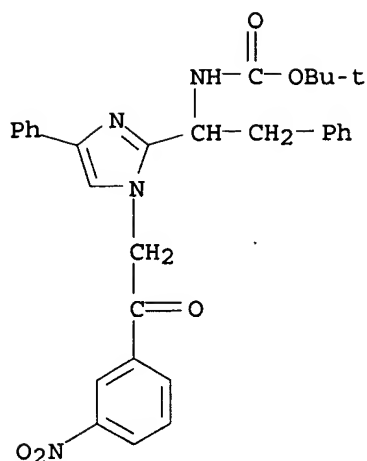
RN 252297-62-4 CAPLUS

CN Carbamic acid, [1-[1-[2-(4-nitrophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



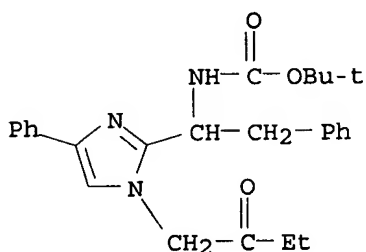
RN 252297-63-5 CAPLUS

CN Carbamic acid, [1-[1-[2-(3-nitrophenyl)-2-oxoethyl]-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



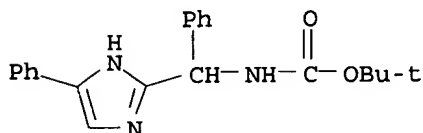
RN 252297-64-6 CAPLUS

CN Carbamic acid, [1-[1-(2-oxobutyl)-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 335243-76-0 CAPLUS

CN Carbamic acid, [phenyl(4-phenyl-1H-imidazol-2-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 252315-91-6

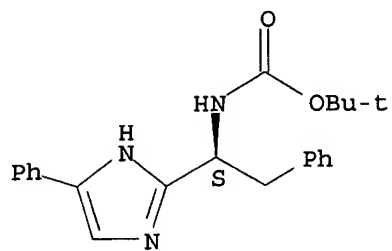
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazolyl derivs. as agonists or antagonists of somatostatin receptors)

RN 252315-91-6 CAPLUS

CN Carbamic acid, [(1S)-2-phenyl-1-(4-phenyl-1H-imidazol-2-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

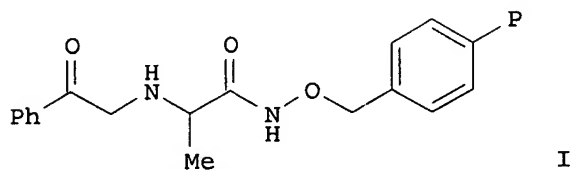
Absolute stereochemistry.



L12 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:278022 CAPLUS <<LOGINID::20061228>>
DOCUMENT NUMBER: 132:308359
TITLE: aminoketone solid support templates useful for solid
phase synthesis of imidazoles, benzodiazepines,
pyrazines, steroid mimics, etc.
INVENTOR(S): Mjalli, Adnan M. M.
PATENT ASSIGNEE(S): Advanced Syntech, Llc, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023487	A1	20000427	WO 1999-US23619	19991008
W: CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6117940	A	20000912	US 1998-174521	19981016
CA 2347243	A1	20000427	CA 1999-2347243	19991008
EP 1153050	A1	20011114	EP 1999-951902	19991008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			US 1998-174521	A 19981016
			US 1997-61927P	P 19971017
			WO 1999-US23619	W 19991008

GI



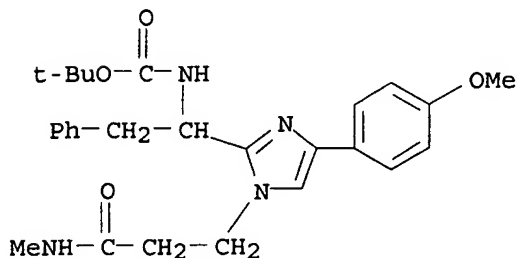
AB A solid phase reaction component for production of a chemical compound in a reaction medium comprises an aminoketone core compound linked to a polymer substrate, said substrate being insol. in said reaction medium, e.g. $\text{PXLNH}(\text{CR}_1\text{R}_2)_n\text{COR}_3$ [$n = 1, 2$; $X =$ covalent bond-forming moiety; $L =$ multifunctional monomer; $L, R_1\text{-}R_3 =$ (substituted) alkyl, alkylaryl, alkenyl, alkenylaryl; $P =$ polymer]. Thus, aminoketone resin I was used to prepare 2-(3-benzyl-2-oxo-5-phenyl-2H-pyrazin-1-yl)-N-hydroxypropionamide and N-hydroxy-2-(5-oxo-2-phenyl-1,5-dihydrobenzo[e][1,4]diazepin-4-yl)propionamide.

IT 265315-17-1P 265315-19-3P 265315-21-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(aminoketone solid support templates useful for solid phase synthesis of imidazoles, benzodiazepines, pyrazines, and steroid mimics)

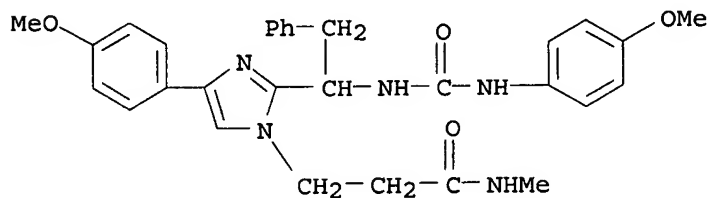
RN 265315-17-1 CAPLUS

CN Carbamic acid, [1-[4-(4-methoxyphenyl)-1-[3-(methylamino)-3-oxopropyl]-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



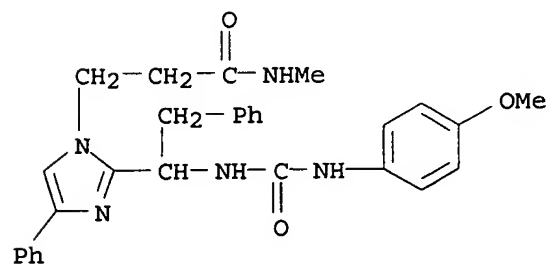
RN 265315-19-3 CAPLUS

CN 1H-Imidazole-1-propanamide, 4-(4-methoxyphenyl)-2-[1-[[[(4-methoxyphenyl)amino]carbonyl]amino]-2-phenylethyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 265315-21-7 CAPLUS

CN 1H-Imidazole-1-propanamide, 2-[1-[[[(4-methoxyphenyl)amino]carbonyl]amino]-2-phenylethyl]-N-methyl-4-phenyl- (9CI) (CA INDEX NAME)



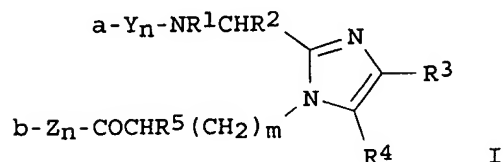
REFERENCE COUNT:

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THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:811276 CAPLUS <<LOGINID::20061228>>
DOCUMENT NUMBER: 132:50255
TITLE: Preparation of cyclic somatostatin analogs
INVENTOR(S): Gordon, Thomas D.
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications
Scientifiques S.A., Fr.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965942	A1	19991223	WO 1999-US13304	19990611
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EP 1086131	A1	20010328	EP 1999-931793	19990611
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RU 2242481	C2	20041220	RU 2001-101530	19990611
TW 527361	B	20030411	TW 1999-88110016	19990615
TW 242441	B	20051101	TW 2002-91115003	19990615
TW 242442	B	20051101	TW 2004-93100348	19990615
NO 2000006320	A	20010212	NO 2000-6320	20001212
US 6602849	B1	20030805	US 2001-719784	20010214
PRIORITY APPLN. INFO.:			US 1998-89503P	P 19980616
			US 1998-98181	A1 19980616
			WO 1999-US13304	W 19990611
OTHER SOURCE(S):	MARPAT 132:50255			
GI				



AB Imidazole-containing somatostatin cyclic derivs. I [Y, Z = D- or L-natural or unnatural α -amino acid; n = 0-50, provided that both n cannot be zero at the same time; m = 1-10; a = H or R₁; b = OH, OR₁, or (un)substituted amino or a and b form an amide bond; R₁ = H, alkyl, arylalkyl; R₂ = H or (un)substituted alkyl, Ph, phenylalkyl, or heterocyclyl alkyl; R₃, R₄ = H or (un)substituted alkyl, cycloalkyl, aryl, or arylalkyl or R₃ and R₄ together with carbons to which they are attached are (un)substituted aryl; R₅ = H or (un)substituted alkyl or arylalkyl; R₆ = H, alkyl, alkoxy, arylalkyl, or arylalkoxy] were prepared which selectively bind to somatostatin receptor subtypes. Thus, cyclo[Tyr-D-Trp-Lys-Val-PheΨ[4-(3-methoxyphenyl)imidazole]-Gly] was prepared by a multistep procedure starting with cyclocondensation of benzyloxycarbonyl-L-phenylalanine with 2-bromo-3'-methoxyacetophenone to form 2-[1-(S)-amino-2-phenylethyl]-4-(3-methoxyphenyl)imidazole, followed by protection, peptide coupling, and deprotection steps.

IT 252753-50-7P 252753-52-9P 252753-54-1P
252753-56-3P 252753-60-9P 252753-62-1P
252753-73-4P 252753-75-6P 252753-79-0P
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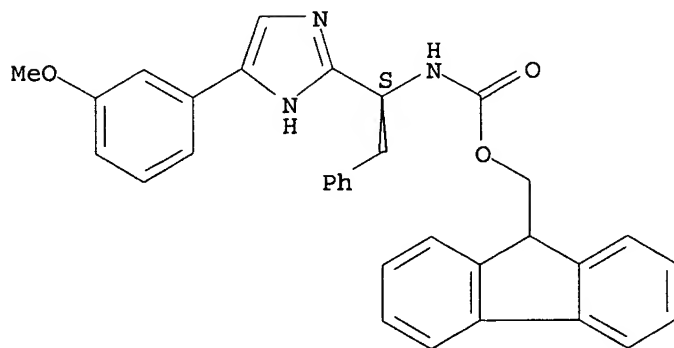
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic somatostatin analogs)

RN 252753-50-7 CAPLUS

CN Carbamic acid, [(1S)-1-[4-(3-methoxyphenyl)-1H-imidazol-2-yl]-2-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

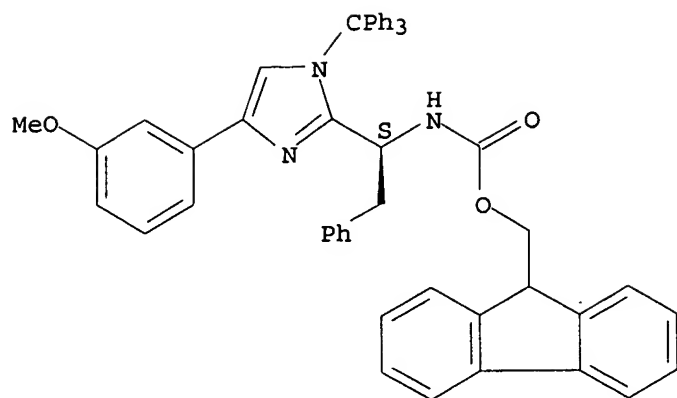
Absolute stereochemistry.



RN 252753-52-9 CAPLUS

CN Carbamic acid, [(1S)-1-[4-(3-methoxyphenyl)-1-(triphenylmethyl)-1H-imidazol-2-yl]-2-phenylethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

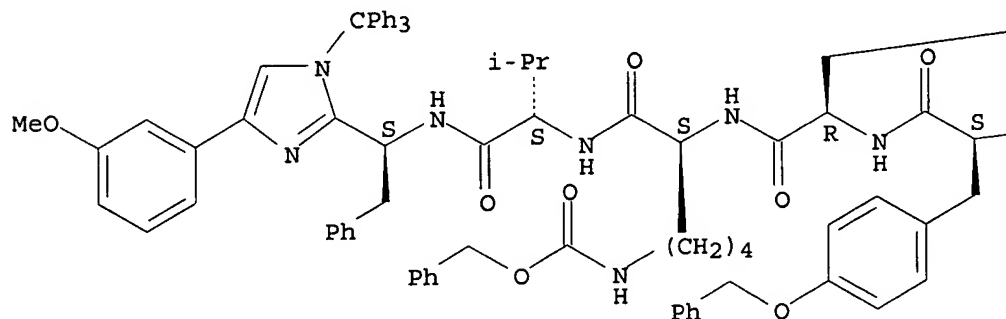


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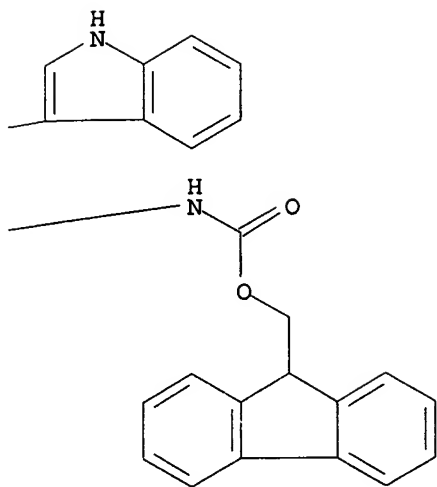
CN L-Valinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-D-tryptophyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-[(1S)-1-[4-(3-methoxyphenyl)-1-(triphenylmethyl)-1H-imidazol-2-yl]-2-phenylethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

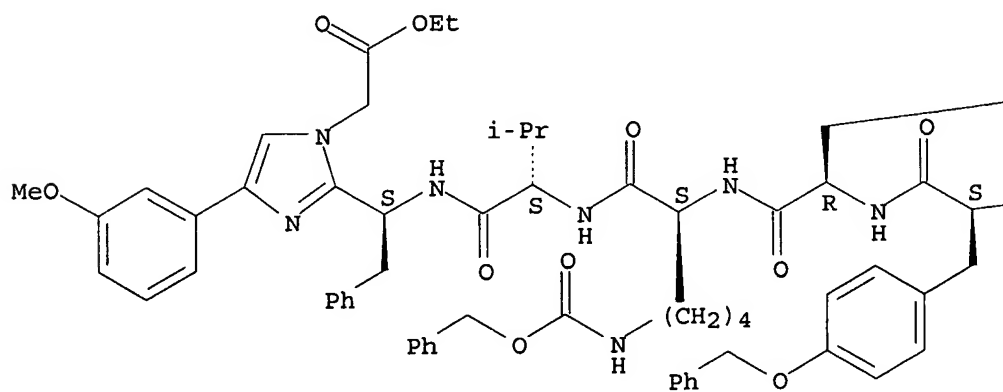


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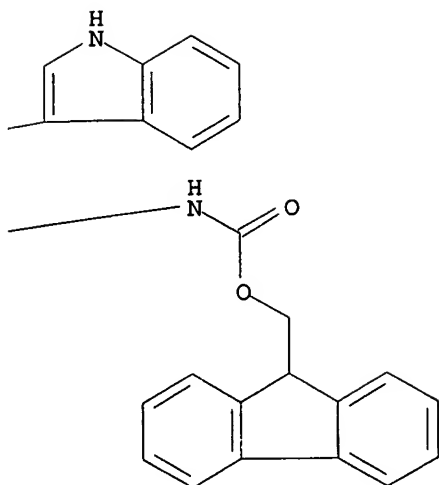
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

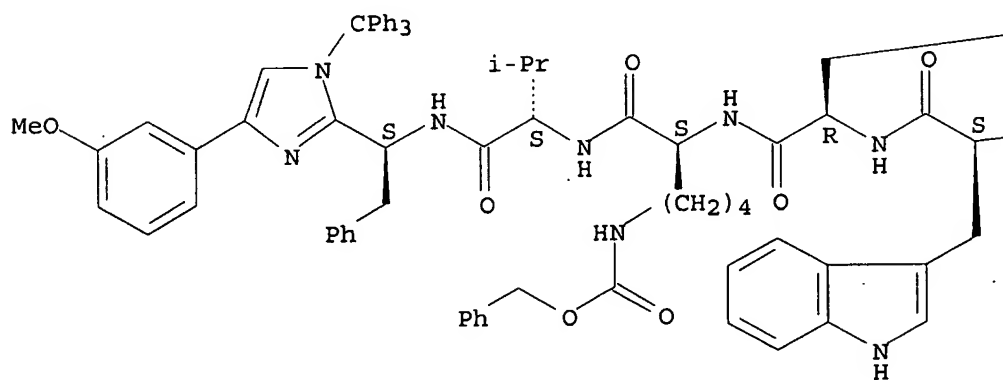


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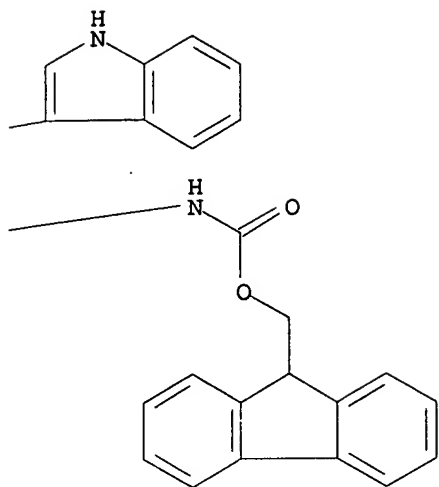
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

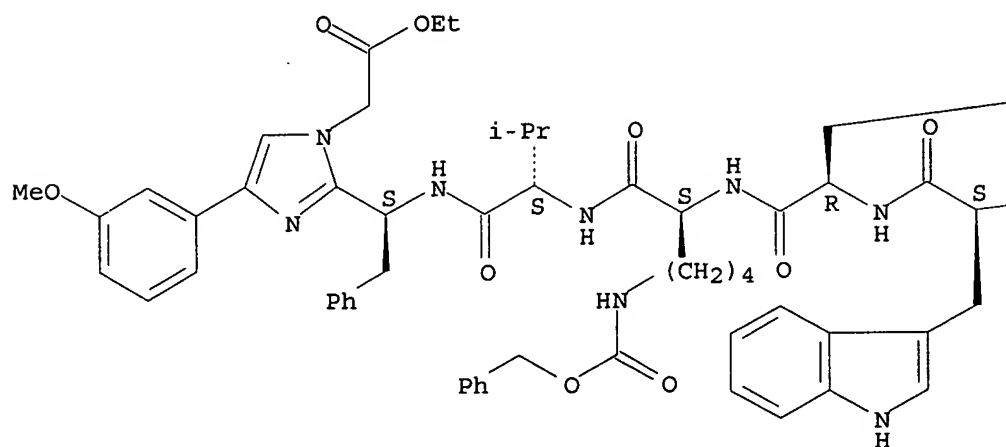


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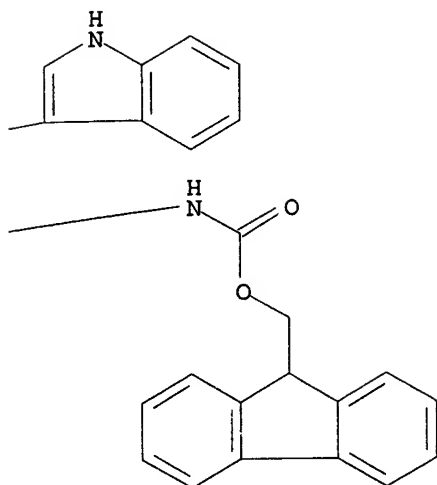
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

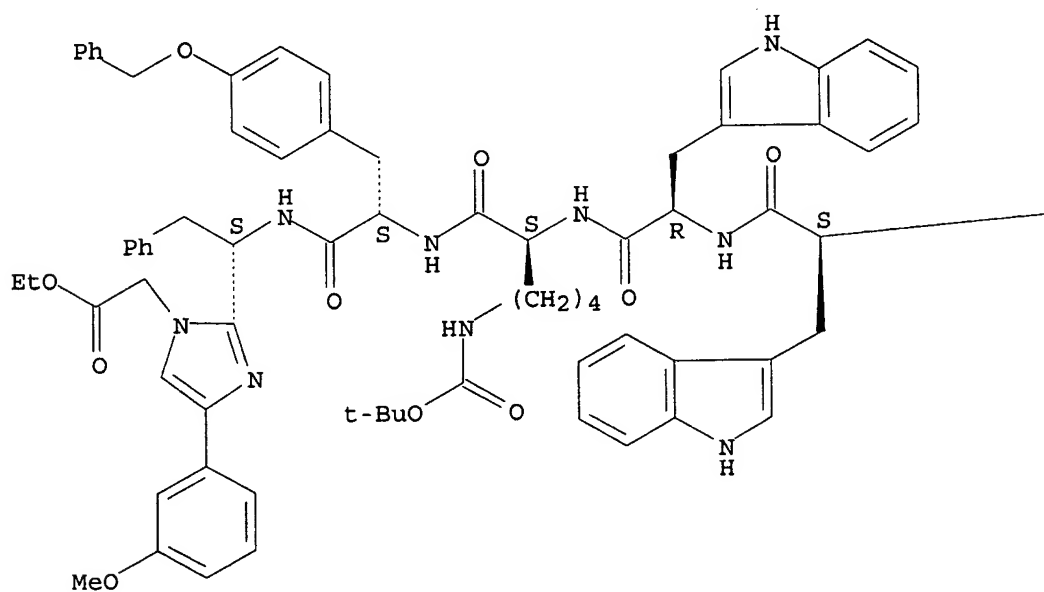


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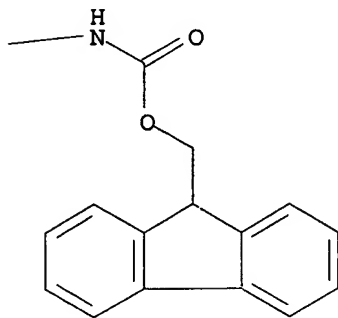
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Absolute stereochemistry.

PAGE 1-A



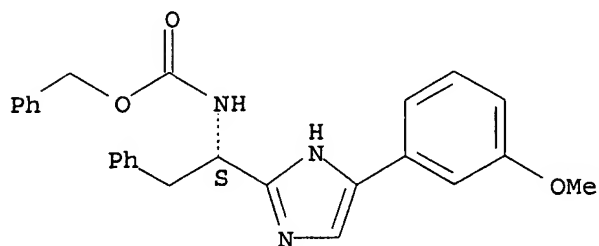
PAGE 1-B



RN 252753-75-6 CAPLUS

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Absolute stereochemistry.

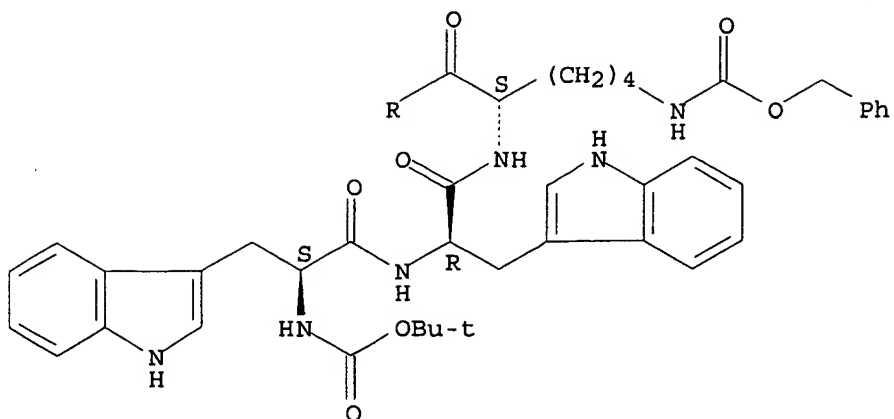


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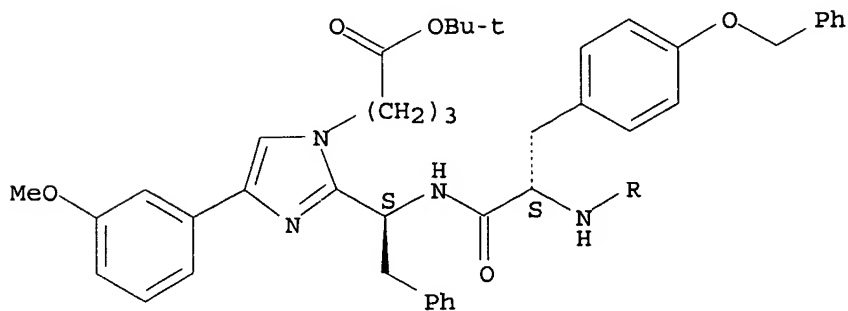
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Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

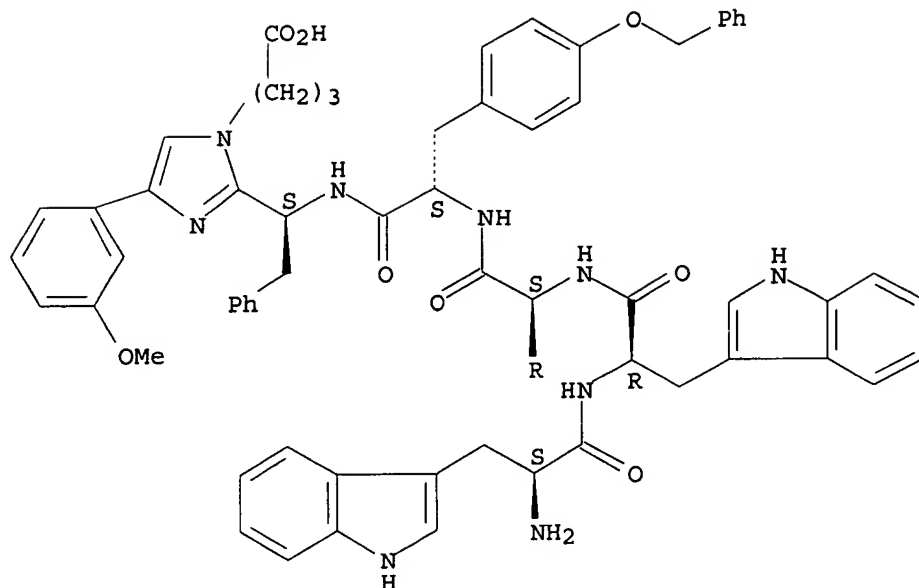


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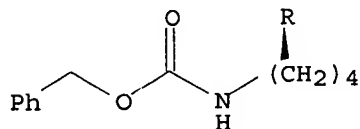
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Absolute stereochemistry.

PAGE 1-A



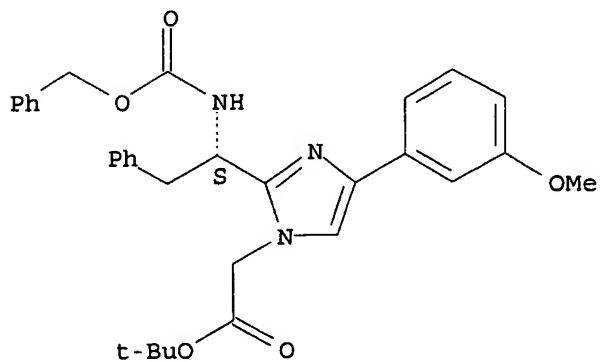
PAGE 2-A



RN 252753-87-0 CAPLUS

CN 1H-Imidazole-1-acetic acid, 4-(3-methoxyphenyl)-2-[(1S)-2-phenyl-1-
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 (CA INDEX NAME)

Absolute stereochemistry.

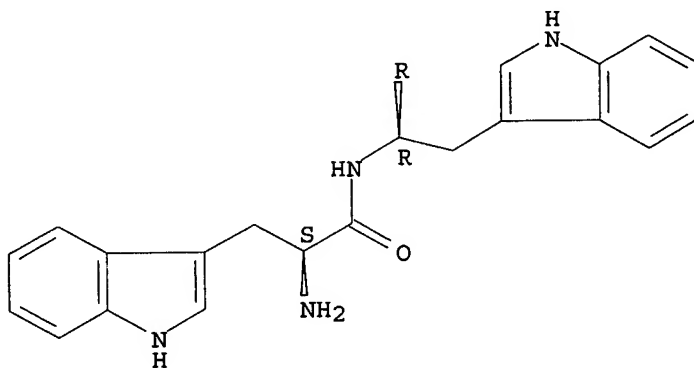


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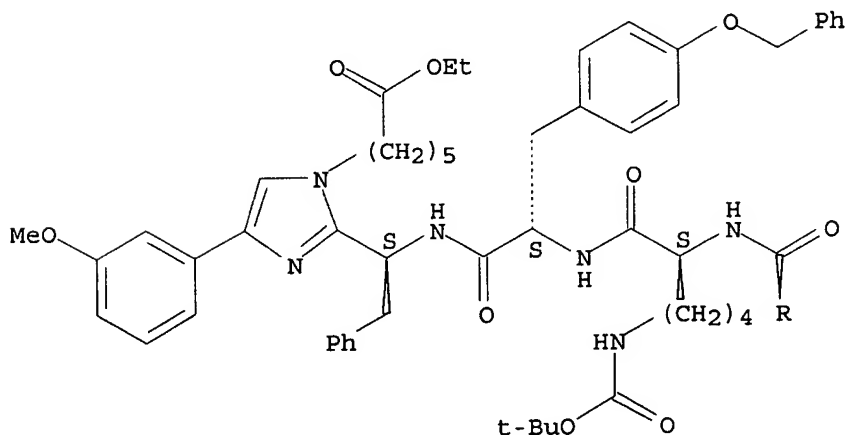
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



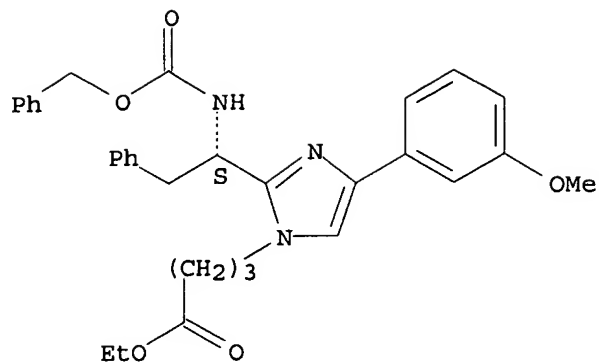
PAGE 2-A



RN 252753-94-9 CAPLUS

CN 1H-Imidazole-1-butanoic acid, 4-(3-methoxyphenyl)-2-[(1S)-2-phenyl-1-[[[(phenylmethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

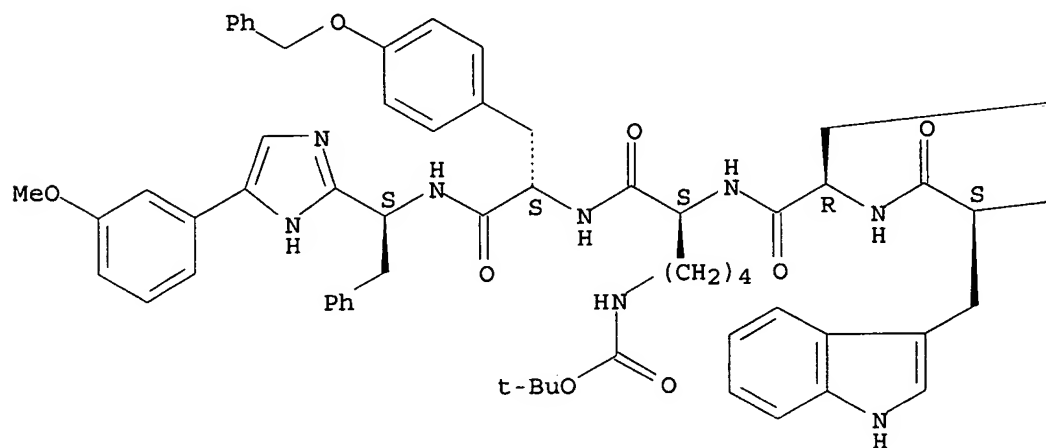


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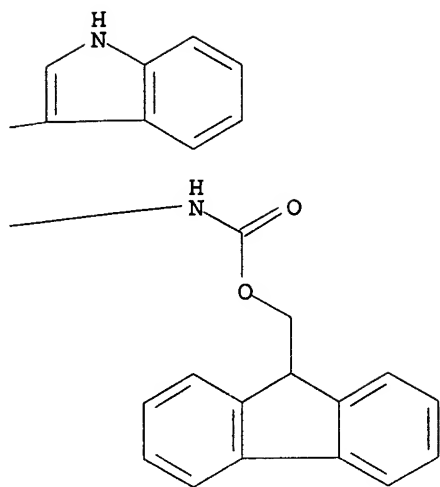
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

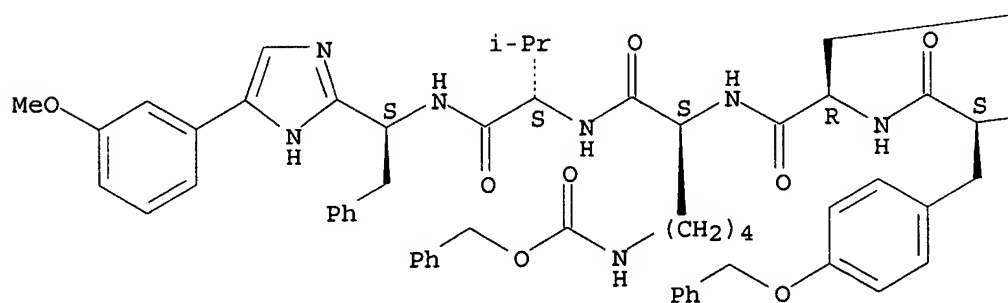


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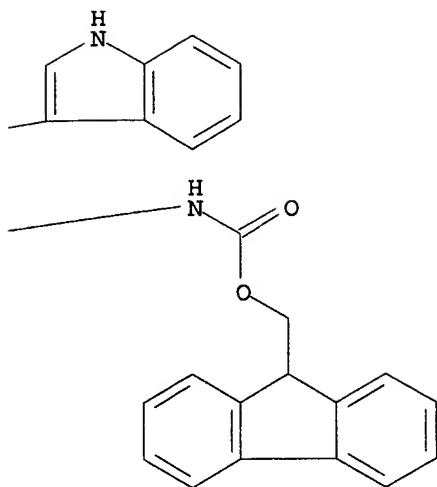
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

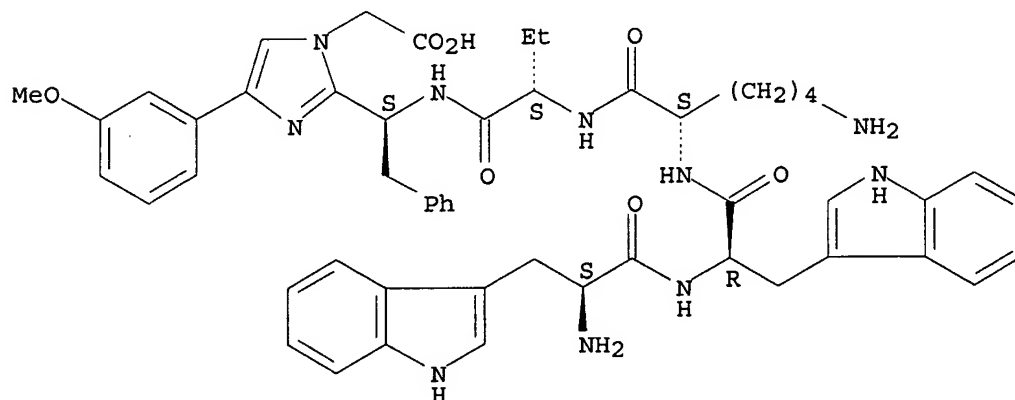
IT **252753-27-8P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclic somatostatin analogs)

RN 252753-27-8 CAPLUS

CN Butanamide, L-tryptophyl-D-tryptophyl-L-lysyl-2-amino-N-[(1S)-1-[1-(carboxymethyl)-4-(3-methoxyphenyl)-1H-imidazol-2-yl]-2-phenylethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

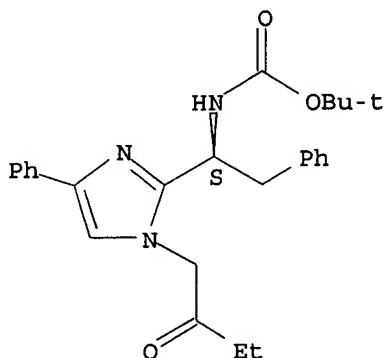
L12 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
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 TITLE: Preparation of imidazolyl derivatives as as agonists
 or antagonists of somatostatin receptors
 INVENTOR(S): Thurieau, Christophe Alain; Poitout, Lydie Francine;
 Galcera, Marie-Odile; Gordon, Thomas D.; Morgan,
 Barry; Moinet, Christophe Philippe
 PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications
 Scientifiques, S.A., Fr.
 SOURCE: PCT Int. Appl., 342 pp..
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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OTHER SOURCE(S):	MARPAT 132:35701			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The title compds. [I; R1 = H, (CH₂)_mCO(CH₂)_mZ1, (CH₂)_mZ1, etc.; Z1 = (un)substituted benzo[b]thiophene, Ph, naphthyl, etc.; R2 = H, alkyl; R1 and R2 taken together with the nitrogen atoms to which they are attached form II-IV; R3 = (CH₂)_mE(CH₂)_mZ2; E = O, S, CO, etc.; Z2 = H, alkyl, NH₂, etc.; R4 = H, (CH₂)_mA1; A1 = C(:Y)NX1X2; C(:Y)X2; C(:NH)X2, X2; Y = O, S; X1 = H, alkyl, etc.; X2 = alkyl, etc.; R5 = alkyl, (un)substituted aryl, etc.; R6 = H, alkyl; R7 = alkyl, (CH₂)_mZ4; Z4 = (un)substituted Ph, naphthyl, indolyl, etc.; m = 0-6] which are useful as agonists or antagonists of somatostatin receptors (no data), and for inhibiting the proliferation of *Helicobacter pylori*, were prepared. Thus, activating 2-furancarboxylic acid with carbonyldiimidazole followed by addition of 2-[(1S)-1-amino-2-(indol-3-yl)ethyl]-4-phenyl-1H-imidazole afforded 94% the title compound V. Compds. I are effective at 0.01-10.0 mg/kg/day.
- IT **252292-76-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of imidazolyl derivs. as as agonists or antagonists of somatostatin receptors)
- RN 252292-76-5 CAPLUS
- CN Carbamic acid, [(1S)-1-[1-(2-oxobutyl)-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

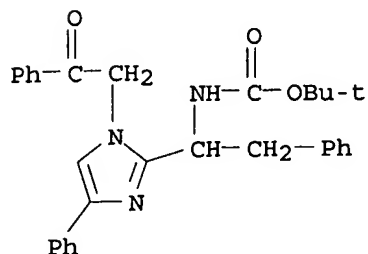


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252297-62-4P 252297-63-5P 252297-64-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of imidazolyl derivs. as as agonists or antagonists of somatostatin receptors)

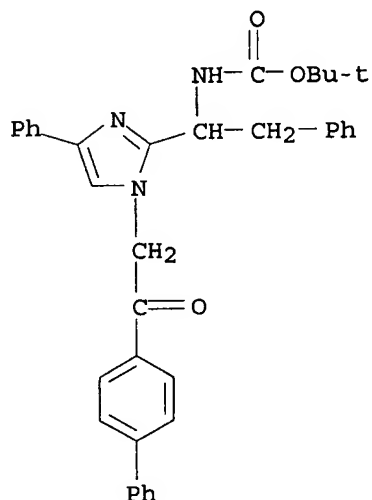
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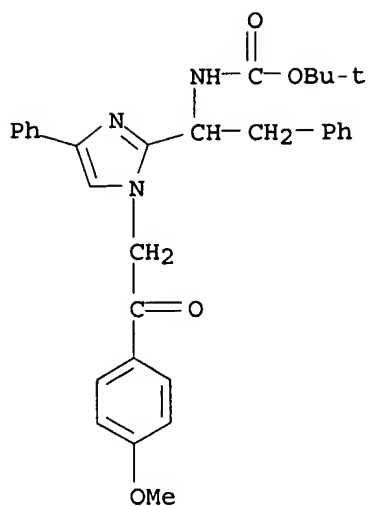
RN 252297-51-1 CAPLUS

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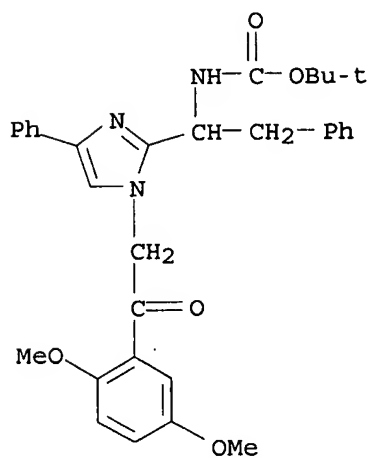
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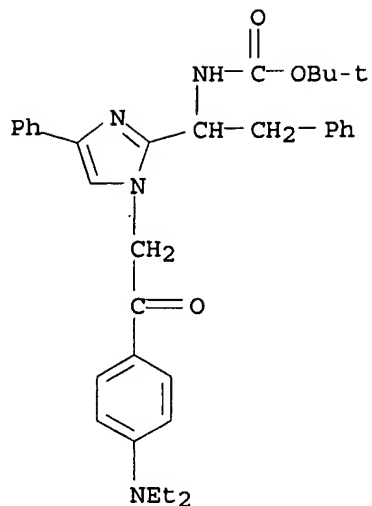
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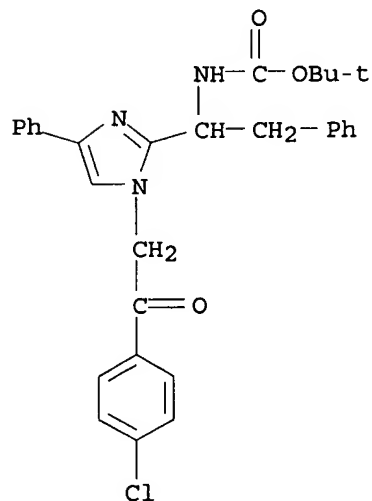
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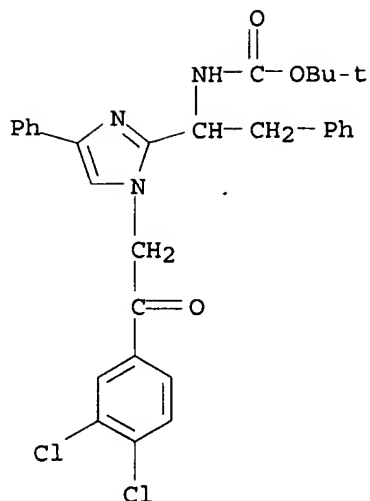
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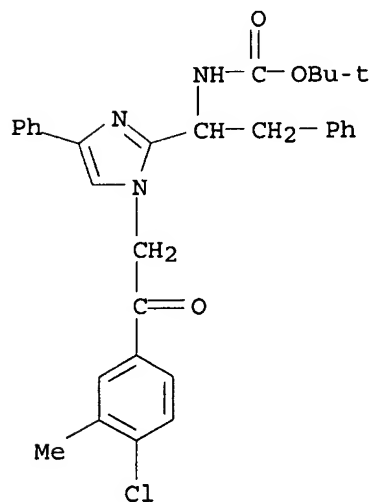
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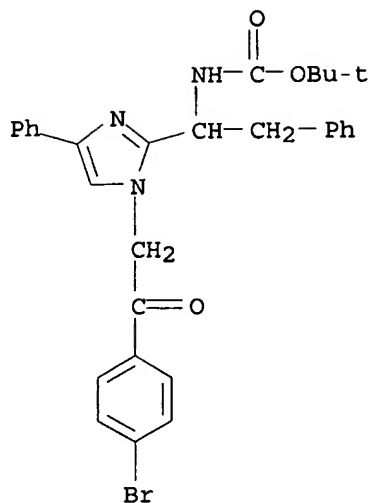
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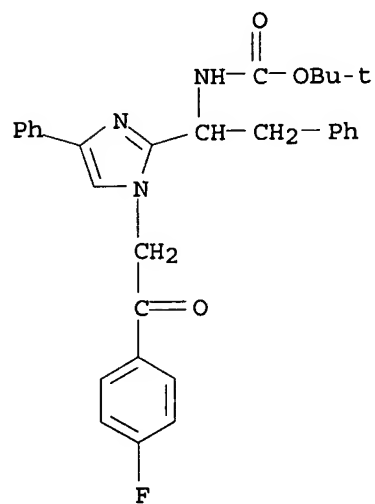
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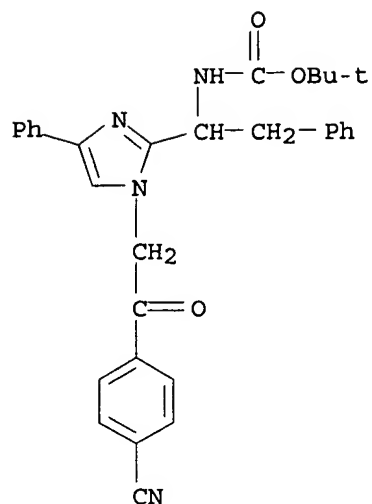
RN 252297-59-9 CAPLUS

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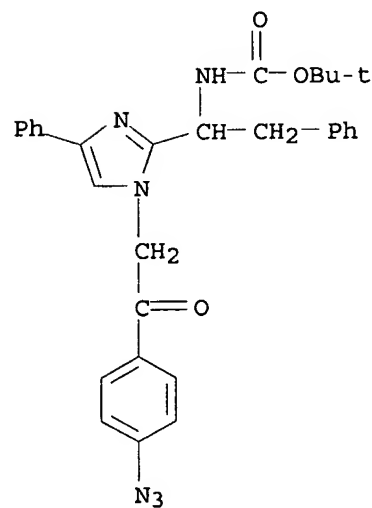
RN 252297-60-2 CAPLUS

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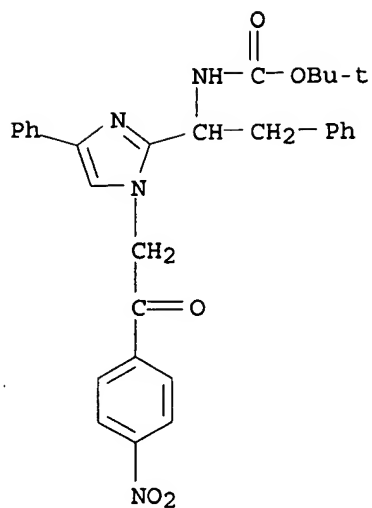
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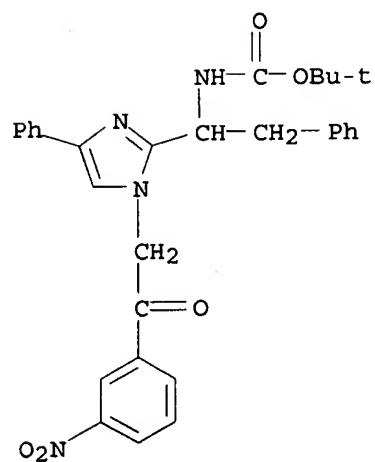
RN 252297-62-4 CAPLUS

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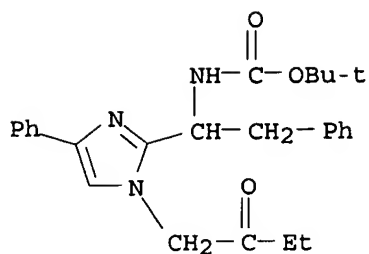
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RN 252297-64-6 CAPLUS

CN Carbamic acid, [1-[1-(2-oxobutyl)-4-phenyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **252315-91-6**

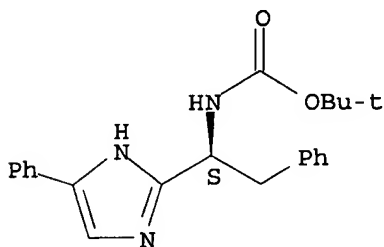
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazolyl derivs. as as agonists or antagonists of somatostatin receptors)

RN 252315-91-6 CAPLUS

CN Carbamic acid, [(1S)-2-phenyl-1-(4-phenyl-1H-imidazol-2-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:424263 CAPLUS <<LOGINID::20061228>>
DOCUMENT NUMBER: 129:95714
TITLE: Preparation of new heterocyclic amides as nitric oxide
production inhibitors
INVENTOR(S): Yatabe, Takumi; Inoue, Takayuki; Hamashima, Hitoshi;
Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei; Oku,
Teruo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Itoh,
Yoshikuni
SOURCE: PCT Int. Appl., 533 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

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WO 9827108	A2	19980625	WO 1997-JP4243	19971120
WO 9827108	A3	19980730		
W:	AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
AU 9749680	A	19980715	AU 1997-49680	19971120
EP 946587	A2	19991006	EP 1997-912529	19971120
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2001505585	T	20010424	JP 1998-527528	19971120
ZA 9710603	A	19980625	ZA 1997-10603	19971125
PRIORITY APPLN. INFO.:			AU 1996-4219	A 19961216
			AU 1997-5929	A 19970401
			AU 1997-9030	A 19970909
			WO 1997-JP4243	W 19971120
OTHER SOURCE(S):	MARPAT 129:95714			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = S, NR9; Y = CHR3, (un)substituted phenylene; R1 = (un)substituted indolyl, (un)substituted benzofuranyl; R2 = H, phenyl-lower alkyl; R3 = H, (CH₂)_nR6; R4 = H, (un)substituted Ph, (un)substituted pyridyl; R5 = H, imidazolyl, Ph, nitrophenyl, phenyl-lower alkyl, optionally esterified carboxy, CONR7R8; R4R5 = CH:CHCH:CH; R6 = optionally protected OH, acyl, carboxy, acylamino, lower alkoxy, phenyl-lower alkoxy, lower alkylthio, (un)substituted Ph; R7, R8 = independently H, Ph, phenyl-lower alkyl, lower alkyl, lower alkoxy; R9 = H, lower alkyl, lower cycloalkyl, (un)substituted benzyl; m = 0, 1; n = 0-3] and pharmaceutically acceptable salts thereof are described as strong inhibitors of the production of nitric oxide. Compds. I are useful for prevention and treatment of nitric oxide-mediated diseases such as adult respiratory distress syndrome, cardiovascular ischemia, myocarditis, heart failure, synovitis, shock, diabetes, diabetic nephropathy, diabetic

retinopathy, diabetic neuropathy, glomerulonephritis, peptic ulcer, inflammatory bowel disease, cerebral infarction, cerebral ischemia, cerebral hemorrhage, migraine, rheumatoid arthritis, gout, neuritis, post-herpetic neuralgia, osteoarthritis, osteoporosis, systemic lupus erythematosus, rejection by organ transplantation, asthma, metastasis, Alzheimer's disease, arthritis, CNS disorders, dermatitis, hepatitis, liver cirrhosis, multiple sclerosis, pancreatitis, atherosclerosis, and the like in humans and animals. Thus, 2-step cyclocondensation of amino ketone II (preparation given) with protected 3-(2-pyridyl)-L-alanine and methylamine gave protected imidazole III (Boc = Me₃CO₂C). Deprotection of III followed by acylation with indole-2-carboxylic acid gave desired compound IV. IV inhibited nitric oxide production 100% in murine macrophage cell line RAW264.7 at 10⁻⁵ M.

IT 209525-35-9P

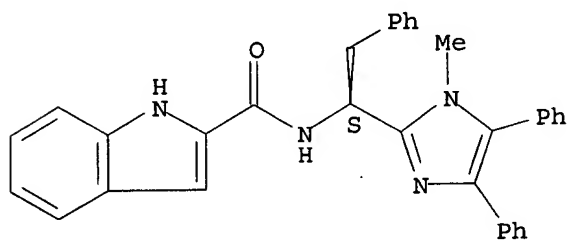
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of new heterocyclic amides as nitric oxide production inhibitors)

RN 209525-35-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-[(1S)-1-(1-methyl-4,5-diphenyl-1H-imidazol-2-yl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 209525-71-3

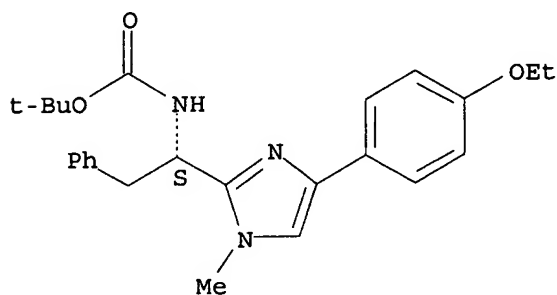
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of new heterocyclic amides as nitric oxide production inhibitors)

RN 209525-71-3 CAPLUS

CN Carbamic acid, [(1S)-1-[4-(4-ethoxyphenyl)-1-methyl-1H-imidazol-2-yl]-2-phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 209528-93-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of new heterocyclic amides as nitric oxide production
inhibitors)

RN 209528-93-8 CAPLUS

CN Carbamic acid, [(1S)-1-(1-methyl-4,5-diphenyl-1H-imidazol-2-yl)-2-
phenylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

